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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE	SINCE FILE ENTRY 0.00	TOTAL SESSION -15.49
=> file caplus COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 1.90	TOTAL SESSION 397.08
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE	SINCE FILE ENTRY 0.00	TOTAL SESSION -15.49

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FILE COVERS 1907 - 18 Jun 2002 VOL 136 ISS 25 FILE LAST UPDATED: 17 Jun 2002 (20020617/ED)

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FILE 'REGI	STRY' ENTERED	AT	16:15:47	ON	18	JUN	2002
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L1 STRUCTURE UPLOADED

L2 7 S L1

L3 779 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:17:53 ON 18 JUN 2002 L4 25 S L3 Saved as panda / A

FILE 'CAOLD' ENTERED AT 16:23:00 ON 18 JUN 2002

FILE 'CAOLD' ENTERED AT 16:23:00 ON 18 JUN 2002 L5 0 S L3

FILE 'CAPLUS' ENTERED AT 16:24:51 ON 18 JUN 2002

FILE 'CAOLD' ENTERED AT 16:25:15 ON 18 JUN 2002

FILE 'CAPLUS' ENTERED AT 16:25:49 ON 18 JUN 2002

FILE 'CAOLD' ENTERED AT 16:26:04 ON 18 JUN 2002

FILE 'CAPLUS' ENTERED AT 16:31:09 ON 18 JUN 2002

=> d 14 1-25 ibib pi fhitstr hitrn

L4 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:252956 CAPLUS

DOCUMENT NUMBER:

136:273215

TITLE:

Combination of an NK-3 receptor antagonist and a CNS-penetrant NK-1 receptor antagonist for treating

depression and anxiety

INVENTOR(S):

Lowe, John Adams, III; McLean, Stafford;

Sobolov-Jaynes, Susan Beth

PATENT ASSIGNEE(S):

Pfizer Products Inc., USA Eur. Pat. Appl., 65 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

PΙ

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1192952	A2	20020403	EP 2001-307657	20010910

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO BR 2001004345 A 20020521

BR 2001-4345 20010928

PRIORITY APPLN. INFO.:

US 2000-236375P P 20000928

OTHER SOURCE(S):

MARPAT 136:273215

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1192952	A2	20020403	EP 2001-307657	20010910

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO
BR 2001004345 A 20020521 BR 200

BR 2001-4345 20010928

IT 185108-16-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of NK3 receptor antagonist and CNS-penetrant NK1 receptor antagonist for treating depression and anxiety)

RN 185108-16-1 CAPLUS

CN Piperazine, 4-[3,5-bis(trifluoromethyl)benzoyl]-2-(3,4-dichlorophenyl)-1[[5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]acetyl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} CF3 \\ \hline \\ Ph-CH_2 \\ \hline \\ C1 \\ \hline \end{array}$$

IT 185108-16-1 204058-53-7 204058-67-3 204058-68-4 204058-69-5 204059-08-5 204059-12-1 204059-35-8 207404-51-1 207404-54-4 207404-58-8 207404-73-7 207404-95-3 207405-00-3 207405-10-5 207405-12-7 207405-13-8 207405-14-9

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09857869
      ANSWER 2 OF 25 CAPLUS COPYRIGHT 2002 ACS
                               2001:923793 CAPLUS
ACCESSION NUMBER:
                               136:53766
DOCUMENT NUMBER:
                               Process for the preparation of a piperazine derivative
TITLE:
                               as neurokinin antagonist
                               Koqa, Keiichi; Orii, Ryoki; Fujii, Yosuke; Goto,
INVENTOR(S):
                               Shunsuke; Hirabayashi, Satoshi
                               Fujisawa Pharmaceutical Co., Ltd., Japan
PATENT ASSIGNEE(S):
                               PCT Int. Appl., 26 pp.
SOURCE:
                                                                                      cia.
                               CODEN: PIXXD2
DOCUMENT TYPE:
                               Patent
                               Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
                               1
PATENT INFORMATION:
                                                     APPLICATION NO.
                                                                           DATE
      PATENT NO.
                           KIND
                                  DATE
      _____
                                   _____
                                                     ______
           001096332 A1 20011220 WO 2001-JP4884 20010608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
      WO 2001096332
                ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
                BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                  JP 2000-176210
                                                                      A 20000613
PRIORITY APPLN. INFO.:
                               CASREACT 136:53766
OTHER SOURCE(S):
                                                     APPLICATION NO.
                                                                          DATE
                           KIND DATE
      PATENT NO.
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20010608 WO 2001096332 A1 20011220 WO 2001-JP4884 PΙ AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 381223-96-7P

RN

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for prepn. of piperazine deriv. as neurokinin antagonist) 381223-96-7 CAPLUS

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3-hydroxy-4-CN methylphenyl) methyl] - 4 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyl] - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyl) - 4 - morpholinyl] ethyll - 7 - [2 - [(2S) - 2 - (methoxymethyll) - 2 - [(2S) - 2 - (metdihydrochloride, hydrate (2:3), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

priorty

PAGE 1-A

●2 HCl

PAGE 2-A

●3/2 H₂O

IT 381223-96-7P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for prepn. of piperazine deriv. as neurokinin antagonist)

IT 277299-25-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for prepn. of piperazine deriv. as neurokinin antagonist)

IT 276857-18-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for prepn. of piperazine deriv. as neurokinin antagonist)
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 25 CAPLUS COPYRIGHT 2002 ACS
                       2000:725653 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                       133:296450
                       Preparation of prenyl protein transferase inhibitors
TITLE:
                       and prostate specific antigen conjugates for
                        combination treatment of prostate cancer.
                        Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen
INVENTOR(S):
PATENT ASSIGNEE(S):
                       Merck and Co., Inc., USA
                        PCT Int. Appl., 544 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                         APPLICATION NO. DATE
    PATENT NO.
                KIND DATE
                           _____
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           WO 2000059930
            SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                      US 1999-127746P P 19990405
PRIORITY APPLN. INFO.:
                       MARPAT 133:296450
OTHER SOURCE(S):
                    KIND DATE
                                       APPLICATION NO. DATE
    PATENT NO.
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                                        WO 2000-US8762 20000331
                          20001012
PΙ
    WO 2000059930
                    A1
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            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
            SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
IT
    183498-91-1
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (prepn. of prenyl protein transferase inhibitors and prostate specific
       antigen conjugates for combination treatment of prostate cancer)
    183498-91-1 CAPLUS
RN
    Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
CN
     (phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

IT 183498-91-1 301296-68-4 301296-69-5 301296-70-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of prenyl protein transferase inhibitors and prostate specific antigen conjugates for combination treatment of prostate cancer)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AUTHOR(S):

L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:641466 CAPLUS

DOCUMENT NUMBER: 133:350193

TITLE: Non-amide-based combinatorial libraries derived from

N-BOC-iminodiacetic acid: solution-phase synthesis of

piperazinone libraries with activity against LEF-1/.beta.-catenin-mediated transcription

Boger, Dale L.; Goldberg, Joel; Satoh, Shigeki; Ambroise, Yves; Cohen, Steven B.; Vogt, Peter K.

CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for

Chemical Biology, The Scripps Research Institute, La

Jolla, CA, 92037, USA

SOURCE: Helvetica Chimica Acta (2000), 83(8), 1825-1845

CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal LANGUAGE: English

IT 305325-55-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of non-amide-based combinatorial libraries derived from N-BOC-iminodiacetic acid and soln.-phase synthesis of piperazinone libraries with activity against lymphoid-enhancer factor-1/.beta.-catenin-mediated transcription)

RN 305325-55-7 CAPLUS

CN Piperazinone, 1-(2-furanylmethyl)-4-(4-methoxybenzoyl)-6-(phenylmethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \hline O & CH_2 & N & C \\ \hline \\ Ph-CH_2 & \end{array}$$

IT 305325-55-7P 305325-56-8P 305325-57-9P 305326-17-4P 305326-18-5P 305326-19-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of non-amide-based combinatorial libraries derived from N-BOC-iminodiacetic acid and soln.-phase synthesis of piperazinone libraries with activity against lymphoid-enhancer factor-1/.beta.-catenin-mediated transcription)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 25 CAPLUS COPYRIGHT 2002 ACS
                       2000:421138 CAPLUS
ACCESSION NUMBER:
                        133:58814
DOCUMENT NUMBER:
                        Preparation of piperazines for treating or preventing
TITLE:
                        tachykinin-mediated diseases
                        Take, Kazuhiko; Konishi, Nobukiyo; Shigenaga, Shinji;
INVENTOR(S):
                        Kayakiri, Natsuko; Azami, Hidenori; Eikyu, Yoshiteru;
                        Nakai, Kazuo; Ishida, Junya; Morita, Masataka
PATENT ASSIGNEE(S):
                        Fujisawa Pharmaceutical Co., Ltd., Japan
                        PCT Int. Appl., 245 pp.
SOURCE:
                                                 APPS PCT
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                 KIND DATE
                                        APPLICATION NO. DATE
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                                         ______
                                        WO 1999-JP6943 19991210
    WO 2000035915 A1 20000622
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            JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                          19991210
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     EP 1140924
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                                                       A 19981214
PRIORITY APPLN. INFO.:
                                       AU 1998-7706
                                       AU 1999-3568
                                                       A 19991021
                                       WO 1999-JP6943
                                                       W 19991210
OTHER SOURCE(S):
                        MARPAT 133:58814
                     KIND DATE
                                         APPLICATION NO. DATE
     PATENT NO.
                     ____
                                         ______
                                        WO 1999-JP6943 19991210
    WO 2000035915 A1 20000622
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            JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
            TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                     A1 20011010 EP 1999-959751 19991210
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
IT
     276857-11-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (prepn. of piperazines for treating or preventing tachykinin-mediated
       diseases)
RN
     276857-11-5 CAPLUS
     Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[[3-[(2-
CN
     4-morpholinyl]ethyl]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

IT 276857-11-5P 276857-18-2P 276857-20-6P 276858-29-8P 276858-67-4P 276858-84-5P 276858-90-3P 276859-60-0P 276859-61-1P 276859-62-2P 276859-63-3P 276859-64-4P 276859-70-2P 276859-85-9P 276860-42-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of piperazines for treating or preventing tachykinin-mediated diseases)

ΙT 276857-12-6P 276857-13-7P 276857-14-8P 276857-15-9P 276857-16-0P 276857-17-1P 276857-19-3P 276857-21-7P 276857-22-8P 276857-23-9P 276857-24-0P 276857-25-1P 276857-26-2P 276857-27-3P 276857-28-4P 276857-29-5P 276857-30-8P 276857-31-9P 276857-32-0P 276857-33-1P 276857-34-2P 276857-35-3P 276857-36-4P 276857-37-5P 276857-38-6P 276857-39-7P 276857-40-0P 276857-41-1P 276857-42-2P 276857-43-3P 276857-44-4P 276857-45-5P 276857-46-6P 276857-47-7P 276857-48-8P 276857-49-9P 276857-50-2P 276857-51-3P 276857-52-4P 276857-53-5P 276857-54-6P 276857-55-7P 276857-56-8P 276857-57-9P 276857-58-0P 276857-59-1P 276857-60-4P 276857-61-5P 276857-62-6P 276857-63-7P 276857-64-8P 276857-65-9P 276857-66-0P 276857-67-1P 276857-68-2P 276857-69-3P 276857-70-6P 276857-71-7P 276857-72-8P 276857-73-9P 276857-74-0P 276857-75-1P 276857-76-2P 276857-77-3P 276857-78-4P 276857-79-5P 276857-80-8P 276857-81-9P 276857-82-0P 276857-83-1P 276857-84-2P 276857-85-3P 276857-86-4P 276857-87-5P 276857-88-6P 276857-89-7P 276857-90-0P 276857-91-1P 276857-92-2P 276857-93-3P 276857-94-4P 276857-95-5P 276857-96-6P 276857-97-7P 276857-98-8P 276857-99-9P 276858-00-5P

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BIOL (Biological study); PREP (Preparation); USES (Uses)
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        diseases)
     276862-92-1
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of piperazines for treating or preventing tachykinin-mediated
        diseases)
                               THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 6 OF 25 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:670114 CAPLUS

131:286538 DOCUMENT NUMBER:

Preparation of 1,4-diacyl piperazines and analogs as TITLE:

neurokinin antagonists

Blythin, David J.; Chen, Xiao; Friary, Richard J.; INVENTOR(S):

Mccormick, Kevin D.; Piwinski, John J.; Shih,

Neng-yang; Shue, Ho-jane

PATENT ASSIGNEE(S):

Schering Corporation, USA

SOURCE:

U.S., 85 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968929	А	19991019	US 1997-958896	19971028
US 6051575	A	20000418	US 1999-313150	19990517
PRIORITY APPLN.	INFO.:		US 1996-29813P P	19961030
			US 1997-958896 A3	19971028

OTHER SOURCE(S): MARPAT 131:286538

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 5968929	Α	19991019	US 1997-958896	19971028
	US- 6051575	Α	20000418	US 1999-313150	19990517

207404-52-2P ΙT

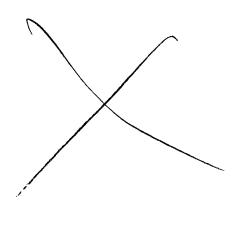
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,4-diacyl piperazines and analogs as neurokinin antagonists)

RN207404-52-2 CAPLUS

Piperazine, 1-[3-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-1-oxopropyl]-2-CN (3,4-dichlorophenyl)-4-(3,5-dimethylbenzoyl)-, dihydrochloride, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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     207404-65-7P 207404-66-8P 207404-67-9P
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     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of 1,4-diacyl piperazines and analogs as neurokinin
        antagonists)
IT
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     207404-56-6P 207404-57-7P 207404-58-8P
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        (prepn. of 1,4-diacyl piperazines and analogs as neurokinin
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                         29
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REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 7 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                      1999:468588 CAPLUS
                          131:116242
DOCUMENT NUMBER:
                          Preparation of 2-(aminoalkanoyl)-4-benzoyl-2-
TITLE:
                          phenylpiperazine derivatives as neurokinin antagonists
                          Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.;
INVENTOR(S):
                          Chen, Xiao; Piwinski, John J.; McCormick, Kevin D.
                          Schering Corporation, USA
PATENT ASSIGNEE(S):
SOURCE:
                          PCT Int. Appl., 60 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                             APPLICATION NO.
                                                               DATE
     PATENT NO.
                       KIND DATE
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                             _____
                                             _____
                                       WO 1999-US46
     WO 9936424
                                                               19990111
                      A1
                             19990722
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EP 1999-901277
                        A1 19990802
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                                             JP 2000-540140
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     JP 2002509151
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                             20020326
PRIORITY APPLN. INFO .:
                                          US 1998-6942 A2 19980114
                                          WO 1999-US46
                                                           W 19990111
                          MARPAT 131:116242
OTHER SOURCE(S):
     PATENT NO.
                                           APPLICATION NO. DATE
                      KIND DATE
                                             ______
                                           WO 1999-US46
                                                              19990111
PΙ
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                      Т2
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IT
     207404-51-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (prepn. of (aminoalkanoyl)benzoylphenylpiperazine derivs. as neurokinin
        antagonists for treatment of diseases)
RN
     207404-51-1 CAPLUS
     2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[3-[(2R)-2-(3,4-
CN
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dichlorophenyl)-4-(3,5-dimethylbenzoyl)-1-piperazinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 207404-51-1P 207404-52-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (aminoalkanoyl)benzoylphenylpiperazine derivs. as neurokinin antagonists for treatment of diseases)

IT 207405-47-8P 207405-48-9P 207405-49-0P 232269-77-1P 232269-79-3P 232269-80-6P 232269-81-7P 232269-82-8P 232269-83-9P 232269-84-0P 232269-85-1P 232269-86-2P 232269-87-3P 232269-88-4P 232269-89-5P 232269-90-8P 232269-91-9P 232269-92-0P 232269-93-1P 232269-94-2P 232269-96-4P 232269-97-5P 232269-98-6P 232269-99-7P 232270-00-7P 232270-01-8P 232270-02-9P 232270-03-0P 232270-04-1P 232270-05-2P 232270-06-3P 232270-07-4P 232270-08-5P 232270-09-6P 232270-10-9P 232270-11-0P 232270-12-1P 232270-13-2P 232270-15-4P 232270-16-5P 232270-26-7P 232270-28-9P 232270-30-3P 232270-32-5P 232270-33-6P 232270-34-7P 232270-36-9P 232270-37-0P 232270-38-1P 232270-39-2P 232270-40-5P 232270-41-6P 232270-42-7P 232270-43-8P 232270-44-9P 232270-45-0P 232270-46-1P 232270-47-2P 232270-48-3P 232270-49-4P 232270-50-7P 232270-51-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (aminoalkanoyl)benzoylphenylpiperazine derivs. as neurokinin antagonists for treatment of diseases)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09857869 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1999:231218 CAPLUS DOCUMENT NUMBER: 130:252385 Preparation of piperazine derivatives as neurokinin TITLE: antagonists Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.; INVENTOR(S): Chen, Xiao; Piwinski, John J.; McCormick, Kevin D. PATENT ASSIGNEE(S): Schering Corporation, USA U.S., 47 pp., Cont.-in-part of U.S. 5,795,894 SOURCE: Saw all N.+s CODEN: USXXAM DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. -----_____ _____ ____ US 5892039 A 19990406 WO 9634864 A1 19961107 US 1996-706016 19960830 WO 1996-US5660 19960501 W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 1996-663880 19960614 WO 1997-US14709 19970828 US 5795894 A 19980818 19980305 WO 9808826 **A**1 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG 19980319 AU 1997-40800 19970828 19990707 EP 1997-938490 19970828 AU 9740800 A1 19980319 EP 927170 A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO CN 1997-199121 19970828 CN 1234026 19991103 Α JP 2000516956 JP 1998-511732 19970828 T2 20001219

PRIORITY APPLN. INFO.: WO 1996-US5660 W 19960501 A2 19960614 US 1996-663880 US 1995-432739 A 19950502 US 1995-3084P P 19950831 US 1996-706016 A 19960830 WO 1997-US14709 A 19970828 PATENT NO. MARPAT 130:252385 OTHER SOURCE(S): KIND DATE APPLICATION NO. DATE ______ _____ -----US 1996-706016 19960830 WO 1996-US5660 19960501 US 5892039 A 19990406 US 1996-706016 19960830 WO 9634864 A1 19961107 WO 1996-US5660 19960501 W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, PI

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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 1996-663880 19960614 US 5795894 A 19980818 A1 19980305 WO 1997-US14709 19970828 WO 9808826

TT

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 1997-40800 19970828 AU 9740800 A1 19980319 EP 1997-938490 19970828 EP 927170 A1 19990707 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO 19991103 CN 1997-199121 19970828 CN 1234026 Α JP 1998-511732 19970828 JP 2000516956 T2 20001219 204059-14-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(R1prepn. of piperazine derivs. as NK1 and NK2 antagonists)

RN 204059-14-3 CAPLUS

Piperazine, 2-(3,4-dichlorophenyl)-4-(3,5-dimethylbenzoyl)-1-[3-[4-(2-CN furanylmethyl)-1-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

204059-14-3P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Rlprepn. of piperazine derivs. as NK1 and NK2 antagonists)

IT 204058-53-7P 204058-54-8P 204058-55-9P 204058-56-0P 204058-57-1P 204058-58-2P 204058-59-3P 204058-60-6P 204058-61-7P 204058-62-8P 204058-64-0P 204058-65-1P 204058-66-2P 204058-67-3P 204058-68-4P 204058-69-5P 204058-74-2P 204058-75-3P 204058-76-4P 204058-77-5P 204058-98-0P 204059-03-0P 204059-08-5P 204059-15-4P 204059-16-5P 204059-17-6P 204059-22-3P 204059-23-4P 204059-24-5P 204059-25-6P 204059-35-8P 221685-04-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine derivs. as NK1 and NK2 antagonists)

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 25 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:104517 CAPLUS

DOCUMENT NUMBER: 130:168394

TITLE: Preparation of 1,4-diacylpiperazines as neurokinin

antagonists.

INVENTOR(S): Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.;

Chen, Xiao; Piwinski, John J.; McCormick, Kevin D.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 663,880.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869488	A	19990209	US 1996-703154	19960829
US 5795894	A	19980818	US 1996-663880	19960614
PRIORITY APPLN. INFO.:	;	U	S 1996-663880 A2	19960614
		U	S 1995-432739 A2	19950502

OTHER SOURCE(S): MARPAT 130:168394

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5869488	Α	19990209	US 1996-703154	19960829
	US 5795894	Α	19980818	US 1996-663880	19960614

IT 220463-19-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,4-diacylpiperazines as neurokinin antagonists)

RN 220463-19-4 CAPLUS

CN Piperazine, 2-(3,4-dichlorophenyl)-4-(3,5-dimethylbenzoyl)-1-[1-oxo-3-[(1S,4S)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 220463-19-4P 220463-33-2P 220463-34-3P 220463-35-4P 220463-36-5P 220463-37-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,4-diacylpiperazines as neurokinin antagonists)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

EP 820445

A1 19980128

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ANSWER 10 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:34471 CAPLUS
DOCUMENT NUMBER:
                          130:95565
                          Preparation of 1-aryl(carbonyl)-2-piperazinones and
TITLE:
                          analogs as farnesyl protein transferase inhibitors
                          Anthony, Neville J.; Ciccarone, Terrence M.; Dinsmore,
INVENTOR(S):
                          Christopher J.; Gomez, Robert P.; Williams, Theresa
                          M.; Hartman, George D.
PATENT ASSIGNEE(S):
                          Merck and Co., Inc., USA
                                                               Saw Lits
                          U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 470,690
SOURCE:
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:
                          Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                      KIND DATE
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OTHER SOURCE(S):
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,

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183	3498-92-21	P						
RL:	BAC (Bid	olog	ical	act	civity or e	effector	, except adve	rse); BSU (Biological
stı	dy, uncla	assi	fied); :	SPN (Synthe	etic pre	paration); TH	<pre>(U (Therapeutic use);</pre>
BIC	L (Biolog	gica.	l st	udy:	; PREP (P	reparatio	on); USES (Us	es)
	(prepn. d	of 1	-ary	l (ca	arbonyl)-2-	-piperaz:	inones and an	alogs as farnesyl
	protein t	tran	sfer	ase	inhibitors	s)		

RN 183498-92-2 CAPLUS

CNPiperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-(phenylmethyl) -, (2S) -, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 183498-91-1 CMF C26 H26 N4 O CDES 1:S

Absolute stereochemistry.

CM 2

76-05-1 CRN CMF C2 H F3 O2

IT 183498-92-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-aryl(carbonyl)-2-piperazinones and analogs as farnesyl protein transferase inhibitors)

ΙT 183499-83-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Reactant or reagent)
(prepn. of 1-aryl(carbonyl)-2-piperazinones and analogs as farnesyl protein transferase inhibitors)

REFERENCE COUNT:

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 11 OF 25 CAPLUS COPYRIGHT 2002 ACS
      ACCESSION NUMBER: 1999:9836 CAPLUS
                                 130:81525
      DOCUMENT NUMBER:
                                 Preparation of aroylpiperazines as tachykinin
     TITLE:
                                 antagonists.
                                 Miyake, Hiroshi; Take, Kazuhiko; Shigenaga, Shinji;
     INVENTOR(S):
                                 Azami, Hidenori; Sasaki, Hiroshi; Eikyu, Yoshiteru;
                                 Nakai, Kazuo; Ishida, Junya; Manabe, Takashi; Konishi,
                                 Nobukiyo; Terasaka, Tadashi
                                 Fujisawa Pharmaceutical Co., Ltd., Japan
      PATENT ASSIGNEE(S):
      SOURCE:
                                 PCT Int. Appl., 200 pp.
                                 CODEN: PIXXD2
     DOCUMENT TYPE:
                                 Patent
                                 English
     LANGUAGE:
      FAMILY ACC. NUM. COUNT:
     PATENT INFORMATION:
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
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PATENT NO.
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     IT
           218592-67-7P
           RL: BAC (Biological activity or effector, except adverse); BSU (Biological
           study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
           (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
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(Reactant or reagent); USES (Uses)

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(prepn. of aroylpiperazines as tachykinin antagonists)
RN 218592-67-7 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-(1H-pyrazol-4-ylmethyl)-, (2R)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

IT 218592-67-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of aroylpiperazines as tachykinin antagonists)
IT 218592-28-0P 218592-30-4P 218592-36-0P
218592-38-2P 218592-45-1P 218592-46-2P

218592-47-3P 218592-48-4P 218592-49-5P 218592-50-8P 218592-53-1P 218592-54-2P 218592-55-3P 218592-56-4P 218592-58-6P 218592-64-4P 218592-65-5P 218592-68-8P 218592-69-9P 218592-71-3P 218592-74-6P 218592-75-7P 218592-76-8P 218592-77-9P 218592-78-0P 218592-79-1P 218592-84-8P 218592-85-9P 218592-86-0P 218592-87-1P 218592-88-2P 218592-89-3P 218592-90-6P 218592-91-7P 218592-93-9P 218592-95-1P 218592-97-3P 218592-99-5P 218593-00-1P 218593-02-3P 218593-04-5P 218593-06-7P 218593-13-6P 218593-17-0P 218593-18-1P 218593-19-2P 218593-20-5P 218593-21-6P 218593-22-7P 218593-23-8P 218593-24-9P 218593-25-0P 218593-26-1P 218593-27-2P 218593-28-3P 218593-29-4P 218593-30-7P 218593-32-9P 218593-33-0P 218593-34-1P 218593-35-2P 218593-37-4P 218593-39-6P 218593-43-2P 218593-44-3P 218593-46-5P 218593-47-6P 218593-48-7P 218593-49-8P 218593-50-1P 218593-51-2P 218593-52-3P 218593-53-4P 218593-56-7P 218593-57-8P 218593-58-9P 218593-59-0P 218593-60-3P 218593-61-4P 218593-62-5P 218593-68-1P 218593-69-2P 218593-71-6P 218593-73-8P 218593-75-0P 218593-77-2P 218593-80-7P

218593-84-1P 218593-85-2P 218593-86-3P

218593-87-4P 218593-88-5P 218593-89-6P 218593-91-0P 218593-92-1P 218593-93-2P 218785-28-5P 218785-30-9P 218785-32-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aroylpiperazines as tachykinin antagonists) IT218595-17-6 218785-40-1 218785-42-3 218785-45-6 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of aroylpiperazines as tachykinin antagonists) 192660-44-9P 218594-27-5P 218595-26-7P IT 218595-27-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of aroylpiperazines as tachykinin antagonists) REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 12 OF 25 CAPLUS COPYRIGHT 2002 ACS
                   1998:804132 CAPLUS
ACCESSION NUMBER:
                       130:33009
DOCUMENT NUMBER:
                       A method of treating cancer using an antineoplastic
TITLE:
                       agent-prenyl-protein transferase inhibitor
                       combination, and compound preparation
                       Rosen, Neal; Sepp-lorenzino, Laura; Moasser, Mark M.;
INVENTOR(S):
                       Oliff, Allen I.; Gibbs, Jackson B.; Kohl, Nancy;
                       Graham, Samuel L.; Prendergast, George C.
                       Merck & Co., Inc., USA; Sloan-Kettering Institute for
PATENT ASSIGNEE(S):
                       Cancer Research
                       PCT Int. Appl., 379 pp.
SOURCE:
                       CODEN: PIXXD2
                       Patent
DOCUMENT TYPE:
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LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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            MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
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IΤ
    183498-91-1
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
       (antineoplastic agent-prenyl-protein transferase inhibitor combination
       for treating cancer, and compd. prepn.)
RN
    183498-91-1 CAPLUS
    Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
CN
     (phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

IT 183498-91-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compd. prepn.)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 13 OF 25 CAPLUS COPYRIGHT 2002 ACS
                          1998:677821 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                           129:302890
                          Treatment of cancer using a combination of integrin
TITLE:
                           antagonists and farnesyl protein transferase
                           inhibitors.
                           Duggan, Mark E.; Hartman, George D.; Heimbrook, David
INVENTOR(S):
                           C.; Oliff, Allen I.
PATENT ASSIGNEE(S):
                          Merck & Co., Inc., USA
                           PCT Int. Appl., 422 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
                           English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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     183498-91-1
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
         (treatment of cancer using a combination of integrin antagonists and
        farnesyl protein transferase inhibitors)
RN
     183498-91-1 CAPLUS
     Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
CN
     (phenylmethyl) -, (2S) - (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

IT 183498-91-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of cancer using a combination of integrin antagonists and farnesyl protein transferase inhibitors)

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ANSWER 14 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:564199 CAPLUS
                                   129:189341
DOCUMENT NUMBER:
                                  Preparation of piperazines as neurokinin antagonists
TITLE:
                                   Shue, Ho-jane; Shih, Neng-yang; Blythin, David J.;
INVENTOR(S):
                                   Chen, Xiao; Tom, Wing C.; Piwinski, John J.;
                                   McCormick, Kevin D.
PATENT ASSIGNEE(S):
                                   Schering Corp., USA
                                   U.S., 92 pp., Cont.-in-part of U. S. 5,719,156.
SOURCE:
                                   CODEN: USXXAM
DOCUMENT TYPE:
                                   Patent
                                   English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:
                        KIND DATE APPLICATION NO. DATE
       PATENT NO.
      US 5795894 A 19980818 US 1996-663880 19960614
US 5719156 A 19980825 US 1995-432739 19950502
US 5798359 A 19980825 US 1995-451113 19950525
CN 1189829 A 19980805 CN 1996-195171 19960501
CA 2228370 AA 19970306 CA 1996-2228370 19960829
WO 9708166 A1 19970306 WO 1996-IB1018 19960829
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      US 5869488 A 19990209
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AT 202776 E 20010715
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PRIORITY APPLN. INFO.:
                                                                               P 19950831
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PATENT NO.
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       US 5795894 A 19980818 US 1996-663880 19960614
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IT 185108-16-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazines as neurokinin antagonists)

RN 185108-16-1 CAPLUS

CN Piperazine, 4-[3,5-bis(trifluoromethyl)benzoyl]-2-(3,4-dichlorophenyl)-1[[5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]acetyl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c} CF3 \\ N - CH_2 - C - N \\ C1 \\ C1 \end{array}$$

IT 185108-16-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperazines as neurokinin antagonists)

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ANSWER 15 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:479528 CAPLUS
                         129:95513
DOCUMENT NUMBER:
                         Preparation of Spiro-substituted azacyclic-substituted
TITLE:
                         piperazino derivatives as neurokinin antagonists
                         McCormick, Kevin D.
INVENTOR(S):
                         Schering Corp., USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 70 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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         VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
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PRIORITY APPLN. INFO.:
                                        WO 1997-US22519 W 19971218
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OTHER SOURCE(S):
     PATENT NO.
                                  APPLICATION NO. DATE
                    KIND DATE
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                     A1 19980702
     WO 9828297
                                         WO 1997-US22519 19971218
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IT
     209747-71-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of piperazine derivs. as neurokinin antagonists)
     209747-71-7 CAPLUS
RN
     Piperazine, 2-(3,4-dichlorophenyl)-1-[3-[1,2-dihydro-1-
CN
     (methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-1-oxopropyl]-4-(3,5-
     dimethylbenzoyl)-, (2R)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

IT 209747-71-7P 209747-72-8P 209747-73-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperazine derivs. as neurokinin antagonists)

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ANSWER 16 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:293498 CAPLUS
                         129:4660
DOCUMENT NUMBER:
                         Preparation of diacylpiperazine derivatives as
TITLE:
                         neurokinin antagonists.
INVENTOR(S):
                         Blythin, David J.; Chen, Xiao; Friary, Richard J.;
                         Mccormick, Kevin D.; Piwinski, John J.; Shih,
                         Neng-yang; Shue, Ho-jane
                         Schering Corp., USA
PATENT ASSIGNEE(S):
SOURCE:
                         PCT Int. Appl., 130 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PRIORITY APPLN. INFO.:
                                         WO 1997-US18986 W 19971028
OTHER SOURCE(S): MARPAT 129:4000
PATENT NO. KIND DATE APPLICATION NO. DATE
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                      A1 19980507 WO 1997-US18986 19971028
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TW 1997-86115979 19971028

NO 9902066 A 19990629 NO 1999-2066 19990429 KR 2000052921 A 20000825 KR 1999-703789 19990429

IT 207404-51-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diacylpiperazine derivs. as neurokinin antagonists)

RN 207404-51-1 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[3-[(2R)-2-(3,4-dichlorophenyl)-4-(3,5-dimethylbenzoyl)-1-piperazinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

207404-51-1P 207404-52-2P 207404-53-3P 207404-54-4P 207404-55-5P 207404-56-6P 207404-57-7P 207404-58-8P 207404-59-9P 207404-60-2P 207404-61-3P 207404-62-4P 207404-63-5P 207404-64-6P 207404-65-7P 207404-66-8P 207404-67-9P 207404-68-0P 207404-69-1P 207404-70-4P 207404-71-5P 207404-72-6P 207404-73-7P 207404-93-1P 207404-94-2P 207404-95-3P 207404-96-4P 207404-97-5P 207404-98-6P 207404-99-7P 207405-00-3P 207405-01-4P 207405-02-5P 207405-03-6P 207405-04-7P 207405-05-8P 207405-06-9P 207405-07-0P 207405-08-1P 207405-09-2P 207405-10-5P 207405-11-6P 207405-12-7P 207405-13-8P 207405-14-9P 207405-15-0P 207405-16-1P 207405-17-2P 207405-18-3P 207405-19-4P 207405-37-6P 207405-45-6P 207405-46-7P 207405-47-8P 207405-48-9P 207405-49-0P 207405-50-3P 207405-51-4P 207405-52-5P 207405-53-6P 207405-54-7P 207405-55-8P 207405-56-9P 207405-72-9P 207405-73-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diacylpiperazine derivs. as neurokinin antagonists)

IT 207564-69-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of diacylpiperazine derivs. as neurokinin antagonists)

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ANSWER 17 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:163574 CAPLUS
DOCUMENT NUMBER:
                        128:230391
TITLE:
                        Preparation of N-(piperidinoacetyl)piperazines and
                        analogs as neurokinin antagonists
                        Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.;
INVENTOR(S):
                        Chen, Xiao; Piwinski, John J.; McCormick, Kevin D.
                        Schering Corporation, USA
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 85 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                 KIND DATE
                                       APPLICATION NO. DATE
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            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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A1 19990707 EP 1997-938490
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    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
       (prepn. of N-(piperidinoacetyl)piperazines and analogs as neurokinin
       antagonists)
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    204058-53-7 CAPLUS
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    Piperazine, 4-[3,5-bis(trifluoromethyl)benzoyl]-2-(3,4-dichlorophenyl)-1-
     [(4-hydroxy-4-phenyl-1-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)
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     204059-26-7P 204059-27-8P 204059-28-9P
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     204059-43-8P 204059-44-9P 204059-45-0P
     204059-46-1P 204059-47-2P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(piperidinoacetyl)piperazines and analogs as neurokinin antagonists)

```
ANSWER 18 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:805724 CAPLUS
DOCUMENT NUMBER:
                       128:48500
TITLE:
                       Preparation of heterocyclic peptide derivatives as
                       farnesylprotein transferase inhibitors and anticancer
                       agents
INVENTOR(S):
                       Heimbrook, David C.; Oliff, Allen I.; Stirdivant,
                       Steven M.
                       Merck & Co., Inc., USA; Heimbrook, David C.; Oliff,
PATENT ASSIGNEE(S):
                       Allen I.; Stirdivant, Steven M.
                       PCT Int. Appl., 260 pp.
SOURCE:
                       CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT:
                       1
PATENT INFORMATION:
                KIND
                                       APPLICATION NO.
    PATENT NO.
                         DATE
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    WO 9745412 A1 19971204 / WO 1997-US8992 19970527
        GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
            ML, MR, NE, SN, TD, TG
    AU 9732151
                A1 19980105
                    A1 19980105 AU 1997-32151
A1 19990811 EP 1997-927776
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    EP 934270
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
    JP 2000508335 T2 20000704
                                  JP 1997-542869
                                                       19970527
PRIORITY APPLN. INFO.:
                                    US 1996-18679P P 19960530
                                                   A 19960618
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                                    WO 1997-US8992
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OTHER SOURCE(S):
PATENT NO.
                      MARPAT 128:48500
                                     APPLICATION NO. DATE
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            NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN,
            YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
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                                      EP 1997-927776
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                                                       19970527
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                    T2 20000704
                                      JP 1997-542869 19970527
    JP 2000508335
IT
    183498-91-1
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
       (prepn. of heterocyclic peptide derivs. as farnesylprotein transferase
       inhibitors and anticancer agents)
    183498-91-1 CAPLUS
RN
CN
    Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
     (phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)
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09857869

IT 183498-91-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of heterocyclic peptide derivs. as farnesylprotein transferase inhibitors and anticancer agents)

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ANSWER 19 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:696611 CAPLUS
DOCUMENT NUMBER:
                         127:359110
TITLE:
                         Preparation of transferase inhibitors for treating
                         cancer
                         Gibbs, Jackson B.; Kohl, Nancy E.; Oliff, Allen I.
INVENTOR(S):
                         Merck & Co., Inc., USA; Gibbs, Jackson B.; Kohl, Nancy
PATENT ASSIGNEE(S):
                         E.; Oliff, Allen I.
                         PCT Int. Appl., 301 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                 KIND DATE APPLICATION NO. DATE
     PATENT NO.
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     WO 9738664 A2 19971023
WO 9738664 A3 19971120
                                          WO 1997-US6248 19970415
        W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
                                          CA 1997-2251955 19970415
     CA 2251955 AA 19971023
                     A1 19971107 AU 1997-28022 19970415
A2 19991103 EP 1997-922313 19970415
     AU 9728022
     EP 952842
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     JP 2000513711 T2 20001017
                                      JP 1997-537313 19970415
                                        US 1996-15589P P 19960418
PRIORITY APPLN. INFO.:
                                         GB 1996-11982 A 19960607
                                         WO 1997-US6248 W 19970415
OTHER SOURCE(S):
PATENT NO.
                        MARPAT 127:359110
                    KIND DATE APPLICATION NO. DATE
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     WO 9738664 A2 19971023
WO 9738664 A3 19971120
                                         WO 1997-US6248 19970415
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             ML, MR, NE, SN, TD, TG
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                      T2 20001017
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     JP 2000513711
IT
     183498-91-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of transferase inhibitors for treating cancer)
     183498-91-1 CAPLUS
RN
     Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
CN
     (phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)
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IT 183498-91-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of transferase inhibitors for treating cancer)

CN

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ANSWER 20 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:672274 CAPLUS
DOCUMENT NUMBER:
                         127:331747
                         Preparation of imidazole derivatives and
TITLE:
                         imidazole-contg. peptide analogs and a method of
                         treating cancer
                         Heimbrook, David C.; Oliff, Allen I.; Stirdivant,
INVENTOR(S):
                         Steven M.
                         Merck & Co., Inc., USA; Heimbrook, David C.; Oliff,
PATENT ASSIGNEE(S):
                         Allen I.; Stirdivant, Steven M.
SOURCE:
                         PCT Int. Appl., 313 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                         APPLICATION NO. DATE
     PATENT NO.
                  KIND DATE
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     WO 9736587 A1 19971009 WO 1997-US5328 19970331
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             IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
         NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                     AA 19971009
                                          CA 1997-2250232 19970331
     CA 2250232
                      A1 19971022
     AU 9727221
                                          AU 1997-27221
                                                             19970331
                      B2 20010104
     AU 727939
                                       EP 1997-921085 19970331
     EP 906099
                      A1 19990407
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     JP 2000504023 T2 20000404 JP 1997-535542 19970331
PRIORITY APPLN. INFO.:
                                        US 1996-14773P P 19960403
                                        GB 1996-13599
                                                        A 19960628
                                        WO 1997-US5328
                                                        W 19970331
OTHER SOURCE(S):
PATENT NO.
                        MARPAT 127:331747
                    KIND DATE APPLICATION NO. DATE
     WO 9736587 A1 19971009 WO 1997-US5328 19970331
PΙ
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,
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             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     AU 9727221
                                          AU 1997-27221
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     AU 727939
                       B2
                            20010104
     EP 906099
                      A1 19990407
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         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                         JP 1997-535542 19970331
     JP 2000504023
                      T2 20000404
IT
     183498-91-1P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of imidazole derivs. as Raf protein antagonists and
        imidazole-contg. peptide analogs as farnesyl protein transferase
        inhibitors for treating cancer)
RN
     183498-91-1 CAPLUS
     Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-
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(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 183498-91-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazole derivs. as Raf protein antagonists and imidazole-contg. peptide analogs as farnesyl protein transferase inhibitors for treating cancer)

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ANSWER 21 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:502963 CAPLUS
DOCUMENT NUMBER:
                      127:121754
                      Piperazine derivatives as tachykinin antagonists
TITLE:
                      Matsuo, Masaaki; Manabe, Takashi; Konishi, Nobukiyo;
INVENTOR(S):
                       Take, Kazuhiko; Igari, Norihiro; Shigenaga, Shinji;
                       Matsuda, Hiroshi; Terasaka, Tadashi
PATENT ASSIGNEE(S):
                       Fujisawa Pharmaceutical Co., Ltd., Japan; Matsuo,
                      Masaaki; Manabe, Takashi; Konishi, Nobukiyo; Take,
                       Kazuhiko; Igari, Norihiro; Shigenaga, Shinji; Matsuda,
                       Hiroshi; Terasaka, Tadashi
SOURCE:
                       PCT Int. Appl., 133 pp.
                       CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
                       English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                KIND DATE
                              APPLICATION NO. DATE
    PATENT NO.
    WO 9722597 A1 19970626 WO 1996-JP3641 19961212
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       W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU,
           TJ, TM
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    ZA 9610428 A 19970624 ZA 1996-10428
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    CA 2240835
                    AA
                                      CA 1996-2240835 19961212
                                      AU 1997-11106
                    A1 19970714
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    AU 9711106
    AU 714931
                  B2 20000113
A1 19981028
                                      EP 1996-941859 19961212
    EP 873320
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
    CN 1209125 A 19990224 CN 1996-199963 19961212
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                    A 20000711
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                                       US 2001-899942 20010709
    US 2002010132
                   A1 20020124
PRIORITY APPLN. INFO.:
                                    GB 1995-25841 A 19951218
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                                                   A 19960516
                                    AU 1996-2683
                                                   A 19960930
                                    WO 1996-JP3641 W 19961212
                                    US 2000-545614 A1 20000406
OTHER SOURCE(S): PATENT NO.
                     MARPAT 127:121754
                  KIND DATE APPLICATION NO. DATE
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                   A1 19970626 WO 1996-JP3641 19961212
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IT
    192659-81-7P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
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(prepn. of piperazine derivs. as tachykinin antagonists)

192660-42-7 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CNdimethylphenyl)methyl]-4-[4-[2-(fluoromethyl)-4-morpholinyl]-2-butynyl]-, dihydrochloride, [4(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$CH_2F$$
 $C=C$
 CF_3

●2 HC1

192660-44-9 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(3,3-dimethyl-4-CN morpholiny1)-2-butyny1]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Me Me
$$C = C$$

Me $C = C$
 $C = C$

RN 192660-46-1 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[4-[2-(methoxymethyl)-1-pyrrolidinyl]-2-butynyl]-, dihydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me
$$CF_3$$

•2 HCl

RN 192660-48-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[4-[3-(methoxymethyl)-4-morpholinyl]-2-butynyl]-, dihydrochloride, [4(R)]- (9CI) (CA INDEX NAME)

$$C \equiv C$$

Me

 $C = C$
 $C = C$
 $C = C$
 $C = C$

RN 192660-49-4 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[3-(3-pyridinyl)-2-propynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192660-51-8 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(2-naphthalenylmethyl)-4-[4-(4-thiomorpholinyl)-2-butenyl]-, dihydrochloride, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 192660-52-9 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(4-morpholinyl)-2-butenyl]-2-(2-naphthalenylmethyl)-, dihydrochloride, [R-(E)]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

•2 HCl

RN 192660-53-0 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[4-(4-thiomorpholinyl)-2-butenyl]-, dihydrochloride, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 192660-54-1 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(3,3-dimethyl-4-morpholinyl)-2-butenyl]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

●2 HCl

RN 192660-60-9 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[2-(1H-imidazol-1-yl)ethyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192660-63-2 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4dimethylphenyl)methyl]-4-[2-(tetrahydro-1,4-oxazepin-4(5H)-yl)ethyl]-,

Absolute stereochemistry.

dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192660-64-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192660-66-5 CAPLUS

CN Piperazine, 4-[3-(4-acetyl-1-piperidinyl)propyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dichlorophenyl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ac
$$CH_2$$
) 3 CF_3

●2 HC1

RN 192660-67-6 CAPLUS

CN Piperazine, 4-[3-(4-acetyl-1-piperidinyl)propyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Ac
$$CF_3$$
 CF_3

RN 192660-68-7 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4dichlorophenyl)methyl]-4-[2-(4-morpholinyl)ethyl]-, dihydrochloride, (R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192660-71-2 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[3-(hexahydro-1H-azepin-1-yl)propyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

$$Me$$
 Me
 R
 CF_3

RN 192660-74-5 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(2-naphthalenylmethyl)-4-[4-(4-thiomorpholinyl)-2-butynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•2 HCl

RN 192660-75-6 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(4-cyclohexyl-1-piperazinyl)-2-butynyl]-2-[(3,4-dimethylphenyl)methyl]-, trihydrochloride, (R)- (9CI) (CA INDEX NAME)

●3 HCl

RN 192660-76-7 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-(2-pyridinylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•2 HCl

RN 192660-78-9 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[4-(4-morpholinyl)-2-butenyl]-, dihydrochloride, [R-(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Absolute stereochemistry.

Me
$$Me$$
 CF_3
 CF_3

•2 HCl

Absolute stereochemistry.

Double bond geometry as shown.

Absolute stereochemistry.

●2 HCl

antagonists)

```
TΤ
    192659-81-7P 192659-93-1P 192659-94-2P
    192659-96-4P 192659-97-5P 192659-99-7P
    192660-02-9P 192660-09-6P 192660-10-9P
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     192661-13-5P 192661-15-7P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of piperazine derivs. as tachykinin antagonists)
IT
     192661-46-4
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (starting material; prepn. of piperazine derivs. as tachykinin
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d 14 21 hitstr

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ANSWER 21 OF 25 CAPLUS COPYRIGHT 2002 ACS
L4
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     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of piperazine derivs. as tachykinin antagonists)
     192659-81-7 CAPLUS
RN
     Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-
CN
     dimethylphenyl)methyl]-4-[3-(4-thiomorpholinyl)propyl]-, dihydrochloride,
     (R) - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

Me
$$N = 0$$
 CF_3
 CF_3

●2 HCl

RN 192659-93-1 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(4-morpholinyl)-2-butynyl]-2-(2-naphthalenylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192659-94-2 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dichlorophenyl)methyl]-4-[4-(4-morpholinyl)-2-butynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192659-96-4 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[4-(4-morpholinyl)-2-butynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192659-97-5 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-

dimethylphenyl)methyl]-4-[4-(4-thiomorpholinyl)-2-butynyl]-,
dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192659-99-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[2-(4-morpholinyl)ethyl]-, dihydrochloride, (R)-(9CI) (CA INDEX NAME)

RN 192660-02-9 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[2-(4-thiomorpholinyl)ethyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192660-09-6 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(2-naphthalenylmethyl)-4[3-(4-thiomorpholinyl)propyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192660-10-9 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[2-(4-morpholinyl)ethyl]-2-(2-naphthalenylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 192660-11-0 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(2-naphthalenylmethyl)-4-[2-(4-thiomorpholinyl)ethyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 192660-14-3 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dichlorophenyl)methyl]-4-[3-(4-morpholinyl)propyl]-, dihydrochloride, (R)-(9CI) (CA INDEX NAME)

$$C1$$
 $C1$
 CI
 $CF3$
 $CF3$

RN 192660-26-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)methyl]-4-[(1-methyl-1H-pyrazol-4-yl)methyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me
$$CF_3$$
 CF_3 CF_3 CF_3 CF_3

HCl

RN 192660-27-8 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[(1-methyl-1H-imidazol-4-yl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 192660-28-9 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[(1-methyl-1H-imidazol-2-yl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 192660-29-0 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[3-(4-morpholinyl)propyl]-, dihydrochloride, (R)-(9CI) (CA INDEX NAME)

Me
$$CF_3$$

RN 192660-32-5 CAPLUS

CN Piperazine, 4-[3-(3-azabicyclo[3.2.2]non-3-yl)propyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dichlorophenyl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$C1$$
 R
 $CF3$
 $CF3$

●2 HC1

RN 192660-33-6 CAPLUS

CN Piperazine, 4-(3-[.1,4'-bipiperidin]-1'-ylpropyl)-1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dichlorophenyl)methyl]-, trihydrochloride, (R)- (9CI) (CA INDEX NAME)

●3 HCl

RN 192660-34-7 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4dimethylphenyl)methyl]-4-[4-(4-morpholinyl)butyl]-, dihydrochloride, (R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192660-36-9 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[5-(4-morpholinyl)-3-pentynyl]-, dihydrochloride,
(R)- (9CI) (CA INDEX NAME)

RN 192660-38-1 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4dimethylphenyl)methyl]-4-[4-(3-methyl-4-morpholinyl)-2-butynyl]-,
dihydrochloride, [4(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192660-40-5 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[4-[2-(methoxymethyl)-4-morpholinyl]-2-butynyl]-, dihydrochloride, [4(R)]- (9CI) (CA INDEX NAME)

RN 192660-89-2 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(2,6-dimethyl-4-morpholinyl)propyl]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, [4(R)-cis]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 192661-02-2 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(2-naphthalenylmethyl)-4-[4-(tetrahydro-1,4-oxazepin-4(5H)-yl)-2-butynyl]-, dihydrochloride, (R)-(9CI) (CA INDEX NAME)

RN 192661-03-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3-fluoro-4-methylphenyl)methyl]-4-[4-(4-morpholinyl)-2-butenyl]-, dihydrochloride, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

●2 HCl

RN 192661-05-5 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(4-fluorophenyl)methyl]-4-[4-(4-thiomorpholinyl)-2-butynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

$$C = C$$

$$C = C$$

$$CF_3$$

192661-06-6 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(4-CNmethoxyphenyl)methyl]-4-[4-(4-thiomorpholinyl)-2-butynyl]-, dihydrochloride, (R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN

192661-07-7 CAPLUS Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(4-thiomorpholinyl)-2-butynyl]-2-[[4-(trifluoromethyl)phenyl]methyl]-, dihydrochloride, (R)-CN (9CI) (CA INDEX NAME)

$$r_{3}$$
C
 r_{3}
 r_{3} C
 r_{3}
 r_{3} C
 r_{4} C
 r_{5} C
 r_{5}

•2 HCl

192661-08-8 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(1-naphthalenylmethyl)-4-CN[4-(4-thiomorpholinyl)-2-butynyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$
 R
 $C \equiv C$

●2 HC1

RN

192661-09-9 CAPLUS Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CN dimethylphenyl)methyl]-4-[3-(1-methyl-1H-pyrazol-4-yl)propyl]-, dihydrochloride, (R) - (9CI) (CA INDEX NAME)

Me Me
$$(CH_2)_3$$
 CF_3 CF_3

192661-11-3 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CNdimethylphenyl)methyl]-4-[3-(3-pyridinyl)propyl]-, dihydrochloride, (R)-(CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

192661-12-4 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(4-morpholinyl)-2-CN butynyl]-2-(2-naphthalenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

RN

192661-13-5 CAPLUS
Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CN dimethylphenyl)methyl]-4-[4-(4-morpholinyl)-2-butynyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$C = C$$

192661-15-7 CAPLUS RN

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CNdimethylphenyl)methyl]-4-[4-(4-morpholinyl)-2-butenyl]-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 192661-46-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; prepn. of piperazine derivs. as tachykinin
 antagonists)

RN 192661-46-4 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[5-(4-morpholinyl)-3-pentynyl]-, (R)- (9CI) (CA INDEX NAME)

$$C = C$$

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ANSWER 22 OF 25 CAPLUS COPYRIGHT 2002 ACS
                   1997:80507 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                       126:104103
TITLE:
                       1-Benzoyl-2-(3-indolylalkyl)piperazine derivatives as
                       neurokinin receptor antagonists
                       Matsuo, Masaaki; Hagiwara, Daijiro; Manabe, Takashi;
INVENTOR(S):
                       Konishi, Nobukiyo; Shigenaga, Shinji; Murano, Kenji;
                       Matsuda, Hiroshi; Miyake, Hiroshi
                       Fujisawa Pharmaceutical Co., Ltd., Japan
PATENT ASSIGNEE(S):
SOURCE:
                       PCT Int. Appl., 45 pp.
                       CODEN: PIXXD2
DOCUMENT TYPE:
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LANGUAGE:
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FAMILY ACC. NUM. COUNT: 2
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(intermediate; prepn. of benzoyl(indolylalkyl)piperazine derivs. as neurokinin receptor antagonists)

RN

169459-22-7 CAPLUS
Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(3-CN pyridinylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. CF3 ĊF3

●2 HCl

ΙT 169459-22-7P 169459-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of benzoyl(indolylalkyl)piperazine derivs. as neurokinin receptor antagonists)

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L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2002 ACS

IT 169459-22-7P 169459-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of benzoyl(indolylalkyl)piperazine derivs. as neurokinin receptor antagonists)

RN 169459-22-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(3-pyridinylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 169459-26-1 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(1H-indol-3-ylacetyl)-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

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09857869
    ANSWER 23 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:38766 CAPLUS
                       126:59974
DOCUMENT NUMBER:
                       Preparation of 1-benzoyl-2-[(4-
TITLE:
                       piperidinylamino)acetyl]piperazines and analogs as
                       neurokinin antagonists
                       Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.;
INVENTOR(S):
                       Chen, Xiao; Tom, Wing C.; Piwinski, John J.;
                       Mccormick, Kevin D.
PATENT ASSIGNEE(S):
                       Schering Corporation, USA
                       PCT Int. Appl., 137 pp.
SOURCE:
                       CODEN: PIXXD2
DOCUMENT TYPE:
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LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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INDEX NAME)

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     185108-16-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
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        (prepn. of 1-benzoyl-2-[(4-piperidinylamino)acetyl]piperazines and
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RN
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CN
     [[5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]acetyl]- (9CI) (CA
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ΙT 185108-16-1P 185109-65-3P 185109-69-7P 185109-72-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 1-benzoyl-2-[(4-piperidinylamino)acetyl]piperazines and

analogs as neurokinin antagonists)

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T2 19981027

20000522

В2

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ANSWER 24 OF 25 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:724170 CAPLUS
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DOCUMENT NUMBER:
                          Preparation of piperazine and homopiperazine
TITLE:
                          inhibitors of farnesyl-protein transferase.
                          Anthony, Neville J.; Ciccarone, Terrence M.; Gomez,
INVENTOR(S):
                          Robert P.; Hutchinson, John H.; Williams, Theresa M.;
                          Dinsmore, Christopher J.; Stokker, Gerald E.
                         Merck and Co., Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                          PCT Int. Appl., 293 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
                          English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
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IT 183498-91-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine and homopiperazine inhibitors of farnesyl-protein transferase)

RN 183498-91-1 CAPLUS

CN Piperazine, 1-(1H-imidazol-4-ylmethyl)-4-(1-naphthalenylcarbonyl)-2-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 183498-91-1P 183498-92-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine and homopiperazine inhibitors of farnesyl-protein transferase)

IT 183499-83-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazine and homopiperazine inhibitors of farnesyl-protein transferase)

ANSWER 25 OF 25 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1995:884027 CAPLUS 123:286083 DOCUMENT NUMBER: TITLE: Preparation of piperazine-derivative tachykinin antagonists Matsuo, Masaaki; Hagiwara, Daijiro; Manabe, Takashi; INVENTOR(S): . Nobukiyo, Konishi; Shigenaga, Shinji; Murano, Kenji; Matsuda, Hiroshi; Miyake, Hiroshi Fujisawa Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S): SOURCE: Eur. Pat. Appl., 114 pp. CODEN: EPXXDW DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ ______ Provided EP 655442 A1 19950531 EP 655442 B1 20010523 EP 1994-118542 19941125 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE A2 19951128 HU 1994-3414 19941128 HU 71348 A 19970923 US 5670505 US 1994-348176 19941128 BR 1995-539 BR 9500539 A 19951031 US 5883098 A 19990316 19950202 US 1997-884039 19970627 PRIORITY APPLN. INFO.: GB 1993-24479 A 19931129 GB 1994-2010 A 19940202 GB 1994-12708 A 19940624 US 1994-348176 A2 19941128 US 1995-450176 B1 19950525 OTHER SOURCE(S):
PATENT NO. MARPAT 123:286083 KIND DATE APPLICATION NO. DATE ______ ______ EP 655442 A1 19950531 EP 655442 B1 20010523 РΤ EP 1994-118542 19941125 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE A1, BE, CH, DE, DR, ES, FR,
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CA 2136712 AA 19950530
AU 9479111 A1 19950608
AU 689504 B2 19980402
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BR 1995-539

US 5883098 A 19990316 US 1997-884039 19970627

IT 169459-14-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine-deriv. tachykinin antagonists)

RN 169459-14-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[2-(1H-indol-2-yl)ethyl]-2-(phenylmethyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 169459-14-7P 169459-18-1P 169459-22-7P 169459-26-1P 169459-35-2P 169459-36-3P 169459-37-4P 169459-41-0P 169459-51-2P 169459-52-3P 169459-56-7P 169459-57-8P 169459-64-7P 169459-69-2P 169459-70-5P 169459-71-6P 169459-86-3P 169460-03-1P 169460-05-3P 169460-11-1P 169460-19-9P 169460-30-4P 169460-31-5P 169460-32-6P 169460-44-0P 169460-51-9P 169460-52-0P 169460-99-5P 169461-00-1P 169461-01-2P 169461-30-7P 169462-35-5P 169462-51-5P 169462-64-0P 169462-78-6P RL: BAC (Biological activity or effector, except a

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazine-deriv. tachykinin antagonists)

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ANSWER 25 OF 25 CAPLUS COPYRIGHT 2002 ACS L4IT 169459-14-7P 169459-18-1P 169459-22-7P 169459-26-1P 169459-35-2P 169459-36-3P 169459-37-4P 169459-41-0P 169459-51-2P 169459-52-3P 169459-56-7P 169459-57-8P 169459-64-7P 169459-69-2P 169459-70-5P 169459-71-6P 169459-86-3P 169460-03-1P 169460-05-3P 169460-11-1P 169460-19-9P 169460-30-4P 169460-31-5P 169460-32-6P 169460-44-0P 169460-51-9P 169460-52-0P 169460-99-5P 169461-00-1P 169461-01-2P 169461-30-7P 169462-35-5P 169462-51-5P 169462-64-0P 169462-78-6P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperazine-deriv. tachykinin antagonists) RN 169459-14-7 CAPLUS Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[2-(1H-indol-2-yl)ethyl]-CN 2-(phenylmethyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169459-18-1 CAPLUS

● HCl

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(1H-indol-2-yl)propyl]-2-(phenylmethyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 169459-22-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(3-pyridinylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169459-26-1 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(1H-indol-3-ylacetyl)-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. .

RN 169459-35-2 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(1H-indol-3-ylcarbonyl)-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

RN 169459-36-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(1H-indol-3-yl)-1-oxopropyl]-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169459-37-4 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(1H-indol-3-yl)-1-oxobutyl]-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169459-41-0 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(1H-indol-3-yl)-1-oxo-2-propenyl]-2-(phenylmethyl)-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 169459-51-2 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[1-oxo-3-(3-pyridinyl)-2-propenyl]-2-(phenylmethyl)-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 169459-52-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(3-furanyl)-1-oxo-2-propenyl]-2-(phenylmethyl)-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 169459-56-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[1-oxo-3-(3-thienyl)-2-propenyl]-2-(phenylmethyl)-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 169459-57-8 CAPLUS

CN Acetamide, N-[4-[3-[4-[3,5-bis(trifluoromethyl)benzoyl]-3-(phenylmethyl)-1-piperazinyl]-3-oxo-1-propenyl]-2-thiazolyl]-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 169459-64-7 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, [R-(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 169459-69-2 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(3-pyridinylacetyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 169459-70-5 CAPLUS

CN Piperazine, 4-(2-benzofuranylcarbonyl)-1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169459-71-6 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(3-pyridinylcarbonyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 169459-86-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-

dimethylphenyl)methyl]-4-(3-pyridinylcarbonyl)-, monohydrochloride, (R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 169460-03-1 CAPLUS

CN Acetamide, N-[4-[3-[4-[3,5-bis(trifluoromethyl)benzoyl]-3-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-3-oxo-1-propenyl]-2-thiazolyl]-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 169460-05-3 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-(1H-indol-3-ylmethyl)-2-(phenylmethyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

RN

 $169460-11-1 \quad \text{CAPLUS} \\ \text{Piperazine, } 1-[3,5-\text{bis(trifluoromethyl)benzoyl}]-4-[(1-\text{methyl-1H-indol-3-methyl-1H-i$ CN yl)methyl]-2-(phenylmethyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 169460-19-9 CAPLUS

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CN dimethylphenyl)methyl]-4-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, monohydrochloride, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 169460-30-4 CAPLUS
CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[3-(1,3-dihydro-1,3-dihydro

dioxo-2H-isoindol-2-yl)propyl]-2-[(3,4-dimethylphenyl)methyl]-, (R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

RN 169460-31-5 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-4-[2-oxo-2-(3-pyridinyl)ethyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

RN 169460-32-6 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-2-[(3,4-dimethylphenyl)methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169460-44-0 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[1-oxo-3-(2-thienyl)-2-propenyl]-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN169460-51-9 CAPLUS

Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CN dimethylphenyl)methyl]-4-(3-pyridinylacetyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

169460-52-0 CAPLUS Piperazine, 1-(3,5-dimethylbenzoyl)-2-[(3,4-dimethylphenyl)methyl]-4-[1-0x0-3-(3-pyridinyl)-2-propenyl]-, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 169460-99-5 CAPLUS

CN Acetamide, N-[1-[[4-[3,5-bis(trifluoromethyl)benzoyl]-3-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]acetyl]-4-phenyl-4-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169461-00-1 CAPLUS

CN Morpholine, 4-[[4-[3,5-bis(trifluoromethyl)benzoyl]-3-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]acetyl]-, monohydrochloride, (R)-(9CI) (CA INDEX NAME)

RN 169461-01-2 CAPLUS

CN Acetamide, N-[1-[2-[4-[3,5-bis(trifluoromethyl)benzoyl]-3-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]-2-oxoethyl]-4-phenyl-4-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169461-30-7 CAPLUS

CN Acetamide, N-[4-[[4-[3,5-bis(trifluoromethyl)benzoyl]-3-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]methyl]-2-thiazolyl]-, (R)- (9CI) (CA INDEX NAME)

RN 169462-35-5 CAPLUS

CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethyl)henyl)methyl]-4-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, monohydrochloride, [S-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● HCl

RN 169462-51-5 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[4-[3,5-bis(trifluoromethyl)benzoyl]-3-(phenylmethyl)-1-piperazinyl]carbonyl]-4-hydroxy-, 1,1-dimethylethyl ester, [2S-[2.alpha.(S*),4.beta.]]- (9CI) (CA INDEX NAME)

169462-64-0 CAPLUS RN

Piperazine, 4-[(2-amino-4-thiazolyl)methyl]-1-[3,5-CN bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, (R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN

169462-78-6 CAPLUS Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-CN dimethylphenyl)methyl]-4-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, [S-(E)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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ENTRY SESSION
FULL ESTIMATED COST
BISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE
0.00 -15.49

SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 16:32:42 ON 18 JUN 2002